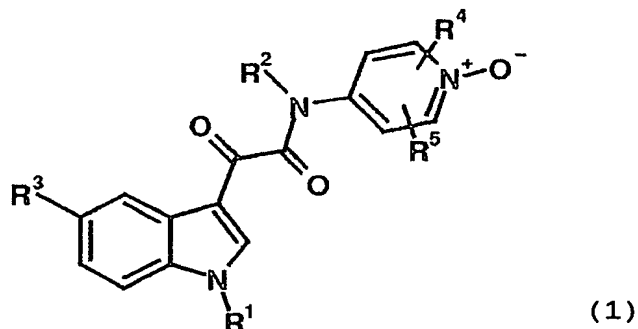


Claims

1. A compound of the formula 1



5

wherein

R¹

- 10 (i) is -C<sub>1-10</sub>-alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NHC<sub>6-14</sub>-aryl, -N(C<sub>6-14</sub>-aryl)<sub>2</sub>, -N(C<sub>1-6</sub>-alkyl)(C<sub>6-14</sub>-aryl), -NO<sub>2</sub>,  
 15 -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -O-C<sub>6-14</sub>-aryl, -S-C<sub>1-6</sub>-alkyl, -S-C<sub>6-14</sub>-aryl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -SO<sub>2</sub>C<sub>6-14</sub>-aryl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>6-14</sub>-aryl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl, -O(CO)C<sub>1-5</sub>-alkyl, by mono-, bi- or tricyclic saturated or  
 20 mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are preferably N, O and S,  
 25 wherein the C<sub>6-14</sub>-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C<sub>1-6</sub>-alkyl, -OH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN,  
 30 -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl or/and -O(CO)C<sub>1-5</sub>-

alkyl, and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and

5 -COOH, or

(ii) is -C<sub>2-10</sub>-alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NHC<sub>6-14</sub>-aryl, -N(C<sub>6-14</sub>-aryl)<sub>2</sub>, -N(C<sub>1-6</sub>-

10 alkyl)(C<sub>6-14</sub>-aryl), -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -O-C<sub>6-14</sub>-aryl, -S-C<sub>1-6</sub>-alkyl, -S-C<sub>6-14</sub>-aryl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -SO<sub>2</sub>C<sub>6-14</sub>-aryl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>6-14</sub>-aryl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl, -O(CO)C<sub>1-5</sub>-alkyl, by

15 mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles with 3-14 ring members or/and by mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles with 5-15 ring members and 1-6 heteroatoms, which are

20 preferably N, O and S, wherein the C<sub>6-14</sub>-aryl groups and the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -C<sub>1-6</sub>-alkyl,

25 -OH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl, -N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl, -SO<sub>3</sub>H, -SO<sub>2</sub>C<sub>1-6</sub>-alkyl, -OSO<sub>2</sub>C<sub>1-6</sub>-alkyl, -COOH, -(CO)C<sub>1-5</sub>-alkyl, -COO-C<sub>1-5</sub>-alkyl or/and -O(CO)C<sub>1-5</sub>-alkyl,

30 and wherein the alkyl groups on the carbocyclic and heterocyclic substituents in turn may optionally be substituted one or more times by -OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H or/and -COOH,

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R<sup>2</sup> is hydrogen or -C<sub>1-3</sub>-alkyl,

R<sup>3</sup> is a hydroxyl group,

R<sup>4</sup> and R<sup>5</sup> may be identical or different and are

hydrogen, -C<sub>1-6</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>, -NHC<sub>1-6</sub>-alkyl,  
-N(C<sub>1-6</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H, -SO<sub>3</sub>-C<sub>1-6</sub>-alkyl,  
-COOH, -COO-C<sub>1-6</sub>-alkyl, -O(CO)-C<sub>1-5</sub>-alkyl,  
-F, -Cl, -Br, -I, -O-C<sub>1-6</sub>-alkyl, -S-C<sub>1-6</sub>-alkyl,  
5 -phenyl or -pyridyl, wherein the phenyl or pyridyl  
substituents in turn may optionally be substituted  
one or more times by -C<sub>1-3</sub>-alkyl, -OH, -SH, -NH<sub>2</sub>,  
-NHC<sub>1-3</sub>-alkyl, -N(C<sub>1-3</sub>-alkyl)<sub>2</sub>, -NO<sub>2</sub>, -CN, -SO<sub>3</sub>H,  
-SO<sub>3</sub>C<sub>1-3</sub>-alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -F, -Cl, -Br,  
10 -I, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-alkyl, or/and -O(CO)C<sub>1-3</sub>-  
alkyl, and where the alkyl substituents in turn  
may optionally be substituted one or more times by  
-OH, -SH, -NH<sub>2</sub>, -F, -Cl, -Br, -I, -SO<sub>3</sub>H, -SO<sub>3</sub>C<sub>1-3</sub>-  
alkyl, -COOH, -COOC<sub>1-3</sub>-alkyl, -O-C<sub>1-3</sub>-alkyl, -S-C<sub>1-3</sub>-  
15 alkyl or/and -O(CO)-C<sub>1-3</sub>-alkyl,

or salts of the compounds of formula 1.

2. A compound as claimed in claim 1 having an  
20 asymmetric carbon atom in the D form, the L form  
and D,L mixtures, and in the case of a plurality  
of asymmetric carbon atoms also the diastereomeric  
forms.

253. A compound as claimed in claim 1 or 2, wherein R<sup>2</sup>  
is hydrogen or a methyl group.

4. A compound as claimed in one of claims 1 to 4,  
wherein at least one of R<sup>4</sup> and R<sup>5</sup> is a halogen  
30 atom.

5. A compound as claimed in any of claims 1 to 4  
selected from:

35 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-  
fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(4-  
chlorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

5 N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,4-dichlorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-[5-hydroxy-1-(3-nitrobenzyl)-indol-3-yl]glyoxylamide

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N-(3,5-dichloro-1-oxopyridin-4-yl)-[1-(2,6-difluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

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N-(3,5-dichloro-1-oxopyridin-4-yl)-(5-hydroxy-1-isobutylindol-3-yl)glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-(1-cyclopropylmethyl-5-hydroxyindol-3-yl)glyoxylamide

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N-(3,5-dichloro-1-oxopyridin-4-yl)-[5-hydroxy-1-(4-hydroxybenzyl)-indol-3-yl]glyoxylamide

N-(3,5-dichloro-1-oxopyridin-4-yl)-N-methyl-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide

25

and physiologically tolerated salts thereof.

6. A compound as claimed in any of claims 1 to 5 selected from:

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N-(3,5-Dichloro-1-oxopyridin-4-yl)-[1-(4-fluorobenzyl)-5-hydroxyindol-3-yl]glyoxylamide and physiologically tolerated salts thereof.

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7. A process for preparing compounds of formula 1, which comprises converting N-(pyridine-4-yl)-indol-3-ylglyoxylamides of formula 2 into the analogous N-(1-oxopyridin-4-yl)-indol-3-ylglyoxylamides of formula 1 by treatment with an oxidizing agent, and liberating the compounds of

formula 1 by eliminating a protective group.

8. The process as claimed in claim 7, wherein a peracid, in particular m-chloroperbenzoic acid or/and peracetic acid, is used as oxidizing agent.
9. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders in which inhibition of phosphodiesterase 4 is therapeutically beneficial.
10. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of eosinophils.
11. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of disorders associated with the effect of neutrophils.
12. The use of the compounds of formula 1 as claimed in any of claims 1 to 6 as therapeutic active ingredients for producing drug products for the treatment of hyperproliferative disorders.
13. A drug product comprising one or more compounds as claimed in any of claims 1 to 6 in addition to conventional physiologically tolerated carriers and/or diluents and excipients.
14. A process for producing a drug product as claimed in claim 13, which comprises one or more compounds as claimed in any of claims 1 to 6 being processed with conventional pharmaceutical carriers and/or diluents and other excipients to pharmaceutical

preparations, or being converted into a form which can be used therapeutically.

15. The use of compounds of the general formula 1 as  
5 claimed in any of claims 1 to 6 and/or of drug products as claimed in claim 13 alone or in combination with one another or in combination with other active pharmaceutical ingredients.